

10/588,985

=> file caplus

FILE 'CAPLUS' ENTERED AT 10:48:52 ON 17 JUN 2009

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FILE COVERS 1907 - 17 Jun 2009 VOL 150 ISS 25

FILE LAST UPDATED: 15 Jun 2009 (20090615/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2009

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2009

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

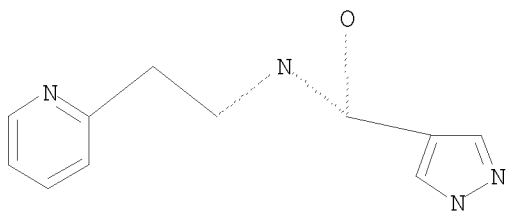
<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que

L1

STR



Structure attributes must be viewed using STN Express query preparation.

L3 65 SEA FILE=REGISTRY SSS FUL L1

L4 10 SEA FILE=CAPLUS L3

=> d l4 1-10 ibib abs hitstr

L4 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:941740 CAPLUS

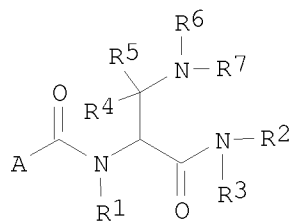
DOCUMENT NUMBER: 147:277593

TITLE: Preparation of heteroarylalanines as herbicides

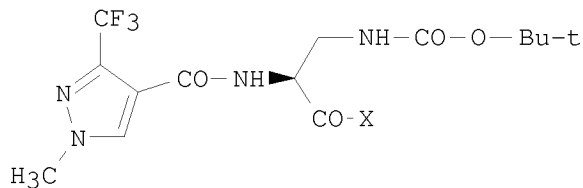
INVENTOR(S): Witschel, Matthias; Zagar, Cyrill; Hupe, Eike; Kuehn, Toralf; Moberg, William Karl; Parra Rapado, Liliana; Stelzer, Frank; Vescovi, Andrea; Rack, Michael; Reinhard, Robert; Sievernich, Bernd; Grossmann, Klaus; Ehrhardt, Thomas

PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany
 SOURCE: PCT Int. Appl., 75pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007093529	A2	20070823	WO 2007-EP51144	20070207
WO 2007093529	A3	20071221		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
EP 1987008	A2	20081105	EP 2007-704402	20070207
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
US 20090054240	A1	20090226	US 2008-279425	20080814
PRIORITY APPLN. INFO.:			EP 2006-110017	A 20060216
			WO 2007-EP51144	W 20070207
OTHER SOURCE(S):	MARPAT 147:277593			
GI				



I



II

AB Title compds. I [A = 5 or 6-membered heteroaryl with provisos; R1, R2 = H, OH, alkoxy; R3 = alkyl, cyanoalkyl, haloalkyl; R4 = H, alkyl; R5 = H, alkyl, alkenyl, etc.; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, alkyl, cycloalkyl, etc.] were prepared For example, condensation of MeNH2/MeOH and Me ester II [X = O in Me] afforded amide II [X = NHMe]. Compds. I are claimed to be useful as agrochem. herbicides.

IT 946611-88-7P

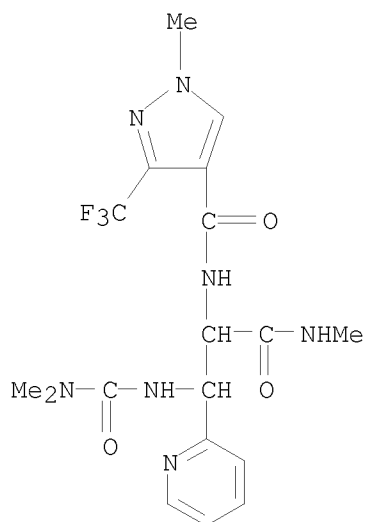
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroarylalanines as herbicides)

RN 946611-88-7 CAPLUS

CN 2-Pyridinepropanamide, β -[[(dimethylamino)carbonyl]amino]-N-methyl- α -[[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]amino]-

(CA INDEX NAME)



L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:538689 CAPLUS

DOCUMENT NUMBER: 146:521800

TITLE: Heterocyclic compounds as tyrosine kinase modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Anikin, Alexey Vyacheslavovich; Gantla, Vidyasagar Reddy; Gregor, Vlad Edward; Jiang, Luyong; Liu, Yahua; Mcgee, Danny Peter Claude; Mikel, Charles Chamchoumis; Pickens, Jason Conrad; Webb, Thomas Roy; Zheng, Yan; Zhu, Tong; Kadushkin, Aleksander; Zozulya, Sergey; Chucholowski, Alexander; Mcgrath, Douglas Eric; Sviridov, Sergey

PATENT ASSIGNEE(S): Chembridge Research Laboratories, Inc., USA

SOURCE: PCT Int. Appl., 385pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

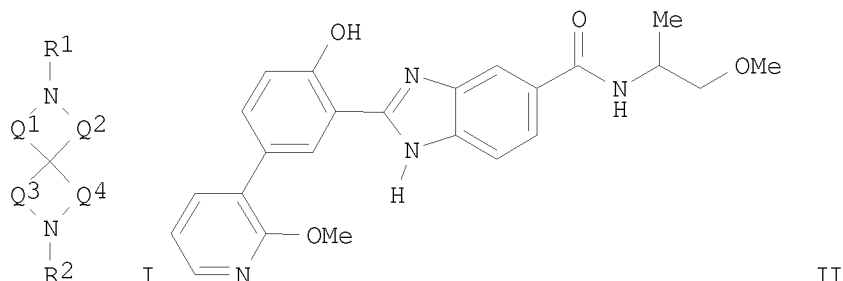
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WO 2007056155	A1	20070518	WO 2006-US42982	20061102
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AU 2006311914 A1 20070518 AU 2006-311914 20061102
 EP 1960382 A1 20080827 EP 2006-836883 20061102
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
 BA, HR, MK, RS

PRIORITY APPLN. INFO.:

US 2005-734050P P 20051103
 WO 2006-US42982 W 20061102

OTHER SOURCE(S): MARPAT 146:521800
 GI



AB The invention provides compds. of formula I and related compds., capable of modulating tyrosine kinases, compns. comprising the compds. and methods of their use. Compds. of formula I wherein R1 is (un)substituted heterocyclyl, (un)substituted alkyl, (un)substituted sulfonyl, acyl, etc.; R2 is H, lower alkyl, lower alkenyl, lower alkynyl, lower cycloalkylalkyl, (un)substituted (hetero)aryl(alkyl), heterocycloalkyl, etc.; Q1, Q2, Q3 and Q4 are independently C1-5 alkyl; and their stereoisomers, tautomers, salts, hydrates and prodrugs thereof, are claimed. Example compound II was prepared by amidation of 2-[2-hydroxy-5-(2-methoxypyridin-3-yl)phenyl]benzimidazole-5-carboxylic acid with 1-methoxy-2-propylamine. All the invention compds. were evaluated for their tyrosine kinase modulatory activity (some data given).

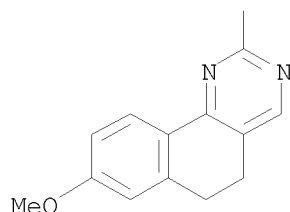
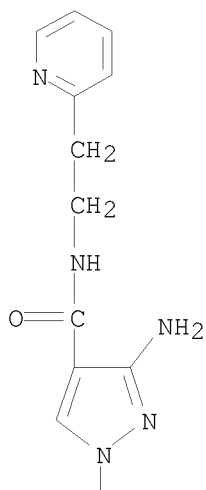
IT 936925-37-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of heterocyclic compds. as tyrosine kinase modulators and their use in the treatment of diseases)

RN 936925-37-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 3-amino-1-(5,6-dihydro-8-methoxybenzo[h]quinazolin-2-yl)-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:272514 CAPLUS

DOCUMENT NUMBER: 144:331692

TITLE: Preparation of heteroaroylserine amides as herbicides

INVENTOR(S): Witschel, Matthias; Stelzer, Frank; Kuehn, Toralf;
Parra Rapado, Liliana; Rack, Michael; Hupe, Eike;
Zagar, Cyrill; Reinhard, Robert; Sievernich, Bernd;
Ehrhardt, Thomas

PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 97 pp.

CODEN: PIXXD2

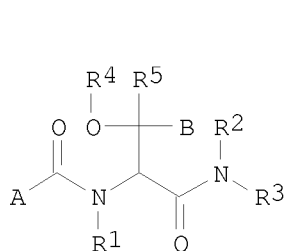
DOCUMENT TYPE: Patent

LANGUAGE: German

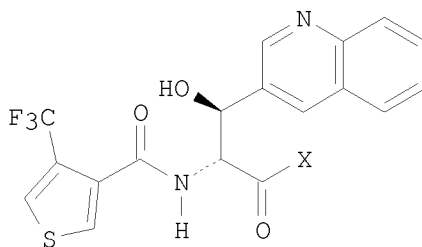
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006029829	A1	20060323	WO 2005-EP9856	20050914
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2005284348	A1	20060323	AU 2005-284348	20050914
CA 2577181	A1	20060323	CA 2005-2577181	20050914
EP 1791829	A1	20070606	EP 2005-790101	20050914
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
CN 101023073	A	20070822	CN 2005-80031324	20050914
JP 2008513393	T	20080501	JP 2007-531663	20050914
BR 2005015184	A	20080722	BR 2005-15184	20050914
MX 2007001836	A	20070423	MX 2007-1836	20070214
IN 2007KN00555	A	20070706	IN 2007-KN555	20070214
US 20070270312	A1	20071122	US 2007-662586	20070313
KR 2007058618	A	20070608	KR 2007-708532	20070413
PRIORITY APPLN. INFO.:			DE 2004-102004045298A	20040916
			WO 2005-EP9856	W 20050914
OTHER SOURCE(S):	MARPAT 144:331692			
GI				



I



II

- AB Title compds. I [A = 5 or 6-membered heteroaryl with provisos; B = mono or bicyclic heteroaryl with provisos; R1,R2 = H OH, alkoxy; R3 = alkyl, cyanoalkyl, haloalkyl; R4 = H, alkyl, cycloalkyl, etc.; R5 = H, alkyl] were prepared For example, N-acylation of methylamine with serine ester II (X = OEt) afforded serine amide II (X = NHMe) in 88% yield. Compds. I exhibited very good herbicidal activity against amaranthus retroflexus, i.e., pig weed.
- IT 880478-07-9P 880478-08-0P 880478-22-8P
 RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

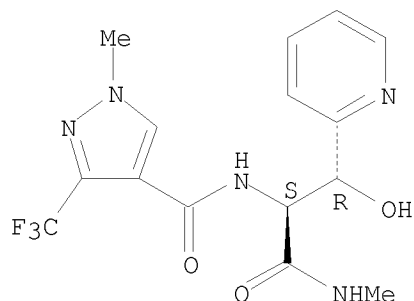
10/588,985

(preparation of heteroaroylserine amides as herbicides)

RN 880478-07-9 CAPLUS

CN 2-Pyridinepropanamide, β -hydroxy-N-methyl- α -[[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]amino]-, (α R, β S)-rel- (CA INDEX NAME)

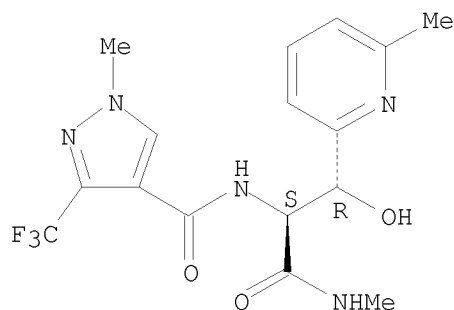
Relative stereochemistry.



RN 880478-08-0 CAPLUS

CN 2-Pyridinepropanamide, β -hydroxy-N,6-dimethyl- α -[[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]amino]-, (α R, β S)-rel- (CA INDEX NAME)

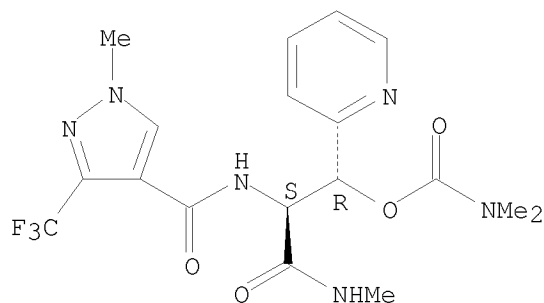
Relative stereochemistry.



RN 880478-22-8 CAPLUS

CN Carbamic acid, dimethyl-, (1R,2S)-3-(methylamino)-2-[[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]amino]-3-oxo-1-(2-pyridinyl)propyl ester, rel- (9CI) (CA INDEX NAME)

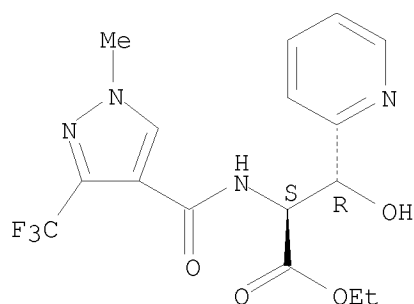
Relative stereochemistry.



10/588,985

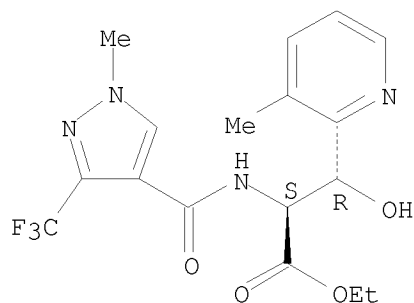
IT 880477-98-5P 880477-99-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of heteroaroylserine amides as herbicides)
RN 880477-98-5 CAPLUS
CN 2-Pyridinepropanoic acid, β -hydroxy- α -[[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]amino]-, ethyl ester,
(α R, β S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 880477-99-6 CAPLUS
CN 2-Pyridinepropanoic acid, β -hydroxy-3-methyl- α -[[[1-methyl-3-(trifluoromethyl)-1H-pyrazol-4-yl]carbonyl]amino]-, ethyl ester,
(α R, β S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



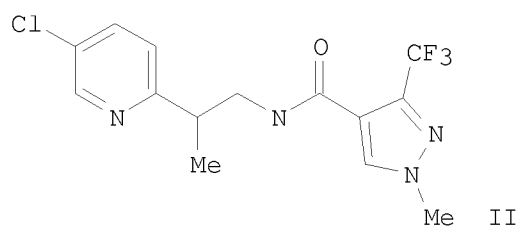
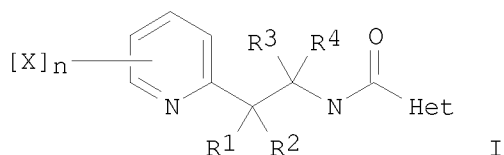
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:998722 CAPLUS
DOCUMENT NUMBER: 143:286453
TITLE: Preparation of 2-pyridinylethylcarboxamide derivatives
as agricultural fungicides
INVENTOR(S): Mansfield, Darren; Coqueron, Pierre-Yves; Rieck,
Heiko; Desbordes, Philippe; Grosjean-Cournoyer,
MarieClaire; Genix, Pierre; Villier, Alain
PATENT ASSIGNEE(S): Bayer Cropscience S.A., Fr.
SOURCE: Eur. Pat. Appl., 42 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent

10/588,985

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1574511	A1	20050914	EP 2004-356029	20040303
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
CA 2553252	A1	20050915	CA 2005-2553252	20050301
WO 2005085238	A1	20050915	WO 2005-EP3282	20050301
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1720865	A1	20061115	EP 2005-716432	20050301
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1926134	A	20070307	CN 2005-80006530	20050301
BR 2005006565	A	20070417	BR 2005-6565	20050301
JP 2007526279	T	20070913	JP 2007-501251	20050301
IN 2006DN04094	A	20070622	IN 2006-DN4094	20060717
KR 2006130144	A	20061218	KR 2006-715089	20060726
ZA 2006006678	A	20080227	ZA 2006-6678	20060811
MX 2006009828	A	20061116	MX 2006-9828	20060829
US 20070167491	A1	20070719	US 2006-588985	20061012
PRIORITY APPLN. INFO.:			EP 2004-356029	A 20040303
			WO 2005-EP3282	W 20050301
OTHER SOURCE(S):			CASREACT 143:286453; MARPAT 143:286453	
GI				



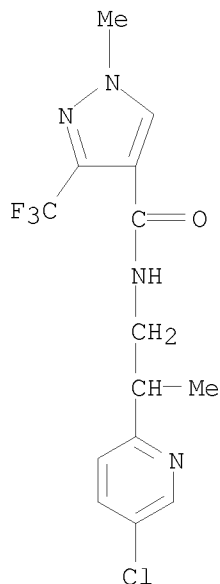
AB The invention is related to the preparation of 2-pyridinylethylcarboxamide derivs. of formula I [wherein n = 1-4; X = independently H, halo, NO₂, CN, OH, NH₂, etc.; R₁-R₄ = independently H, halo, CN, alkyl, etc. with the

proviso that when 3 of the 4 substituents R1-R4 = H, then the fourth substituent is not H; R5 = H, Cn, CHO, alkyl, OH, alkylsulfonyl, etc.; Het = 5-7 membered heterocycle with 1-3 heteroatoms; Het being linked by a C atom and being at least substituted in ortho position; and their salts, N-oxides, metallic and metalloidic complexes], useful as agricultural fungicides. For instance, 2-pyridinylethylcarboxamide derivative II was prepared For compound II (330 ppm) in vivo test on alternaria brassicae (leaf spot of crucifer) was performed and 50 to 100% of protection was observed

IT 864439-78-1P, N-[2-(5-Chloro-2-pyridinyl)propyl]-1-methyl-3-(trifluoromethyl)-1H-pyrazole-4-carboxamide 864439-87-2P
 RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 2-pyridinylethylcarboxamide derivs. as agricultural fungicides)

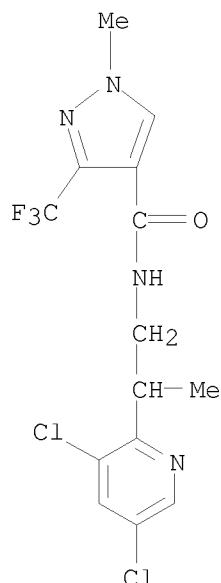
RN 864439-78-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-(5-chloro-2-pyridinyl)propyl]-1-methyl-3-(trifluoromethyl)- (CA INDEX NAME)



RN 864439-87-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-(3,5-dichloro-2-pyridinyl)propyl]-1-methyl-3-(trifluoromethyl)- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:568973 CAPLUS

DOCUMENT NUMBER: 143:97399

TITLE: A preparation of 2-pyridinylethylcarboxamide derivatives, useful as agricultural fungicides

INVENTOR(S): Coqueron, Pierre-Yves; Desbordes, Philippe; Mansfield, Darren James; Rieck, Heiko; Grosjean-Cournoyer, Marie-Claire; Villier, Alain; Genix, Pierre

PATENT ASSIGNEE(S): Bayer Cropscience S.A., Fr.

SOURCE: Eur. Pat. Appl., 50 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

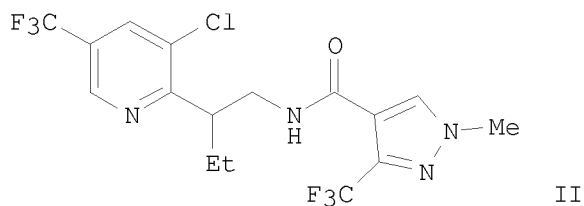
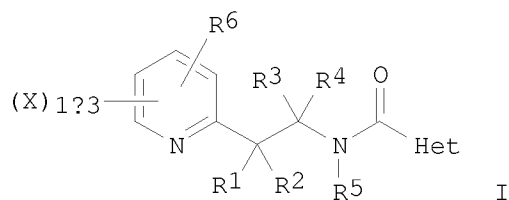
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1548007	A1	20050629	EP 2003-356206	20031219
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
WO 2005058833	A1	20050630	WO 2004-EP14897	20041216
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, VZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

EP 1694649 A1 20060830 EP 2004-804477 20041216
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS
 BR 2004016720 A 20070116 BR 2004-16720 20041216
 CN 1898210 A 20070117 CN 2004-80038095 20041216
 JP 2007516974 T 20070628 JP 2006-544396 20041216
 MX 2006006803 A 20060904 MX 2006-6803 20060615
 KR 2007021118 A 20070222 KR 2006-714323 20060714
 US 20070117845 A1 20070524 US 2006-583011 20061006
 US 20090088456 A1 20090402 US 2008-292676 20081124
 PRIORITY APPLN. INFO.: EP 2003-356206 A 20031219
 WO 2004-EP14897 W 20041216
 US 2006-583011 A1 20061006
 OTHER SOURCE(S): CASREACT 143:97399; MARPAT 143:97399
 GI



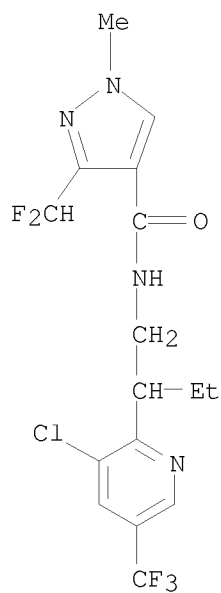
AB The invention relates to a preparation of 2-pyridinylethylcarboxamide derivs. of formula I [wherein: R1, R2, R3, and R4 are independently selected form H, halogen, CN, OH, NH2, or CHO, etc.; R5 is H, CN, CHO, or OH, etc.; R6 is haloalkyl with 1 to 5 halogen atoms; X is H, halogen, or (halo)alkyl; Het is 5-7-membered heterocycle with 1 to 3 heteroatoms], useful as agricultural fungicides. For instance, 2-pyridinylethylcarboxamide derivative II was prepared via amidation of 1-methyl-3-(trifluoromethyl)-1H-pyrazole-4-carboxylic acid by 2-[3-chloro-5-(trifluoromethyl)-2-pyridyl]-1-butanamine with a yield of 57%. For instance, for compound II (330 ppm) in vivo test on alternaria brassicae (leaf spot of crucifer) was performed and 50 to 100% of protection was observed

IT 856245-12-0P 856245-16-4P 856245-18-6P
 856245-23-3P 856245-25-5P 856245-26-6P
 856245-30-2P 856245-31-3P
 RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 2-pyridinylethylcarboxamide derivs. useful as agricultural fungicides)

RN 856245-12-0 CAPLUS
 CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-

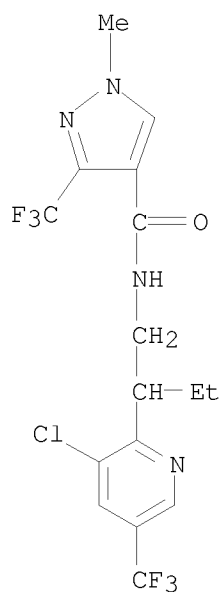
10/588,985

pyridinyl]butyl]-3-(difluoromethyl)-1-methyl- (CA INDEX NAME)



RN 856245-16-4 CAPLUS

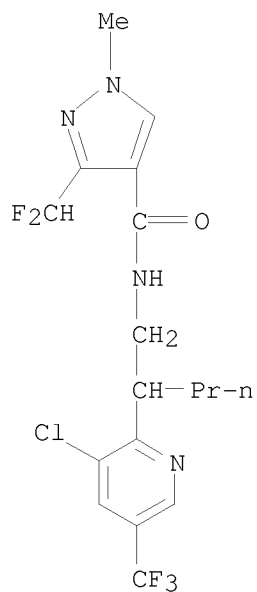
CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]butyl]-1-methyl-3-(trifluoromethyl)- (CA INDEX NAME)



RN 856245-18-6 CAPLUS

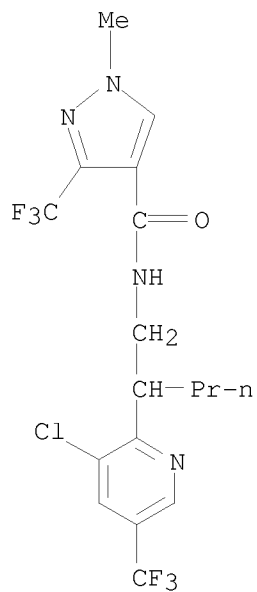
CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]pentyl]-3-(difluoromethyl)-1-methyl- (CA INDEX NAME)

10/588,985



RN 856245-23-3 CAPLUS

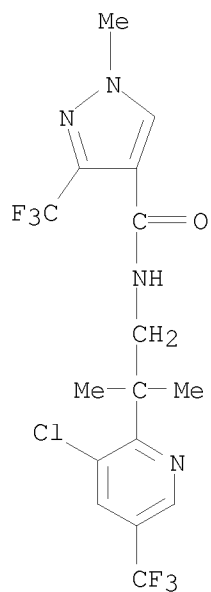
CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]pentyl]-1-methyl-3-(trifluoromethyl)- (CA INDEX NAME)



RN 856245-25-5 CAPLUS

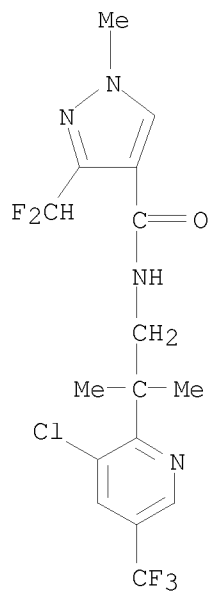
CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-2-methylpropyl]-1-methyl-3-(trifluoromethyl)- (CA INDEX NAME)

10/588,985



RN 856245-26-6 CAPLUS

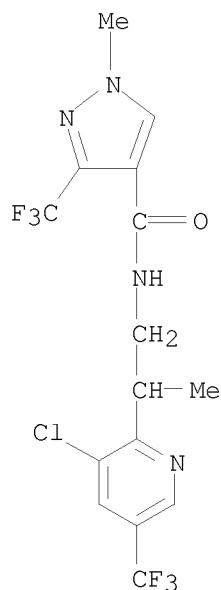
CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-2-methylpropyl]-3-(difluoromethyl)-1-methyl- (CA INDEX NAME)



RN 856245-30-2 CAPLUS

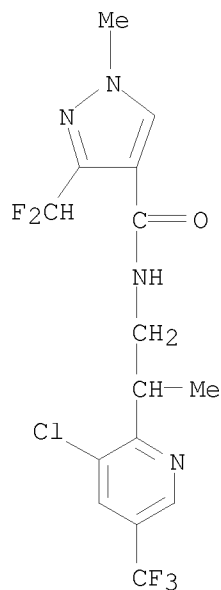
CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]propyl]-1-methyl-3-(trifluoromethyl)- (CA INDEX NAME)

10/588,985



RN 856245-31-3 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]propyl]-3-(difluoromethyl)-1-methyl- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:325701 CAPLUS

DOCUMENT NUMBER: 142:373826

TITLE: Preparation of pyrazole derivatives as cannabinoid receptor modulators

INVENTOR(S): Pendri, Annapurna; Gerritz, Samuel; Dodd, Dharmpal S.;
 Sun, Chongqing
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: U.S. Pat. Appl. Publ., 48 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050080087	A1	20050414	US 2004-959866	20041006
US 7517900	B2	20090414		
WO 2005037199	A2	20050428	WO 2004-US33090	20041006
WO 2005037199	A3	20050804		

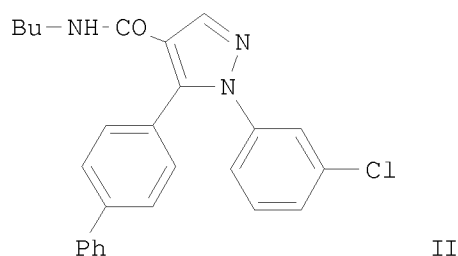
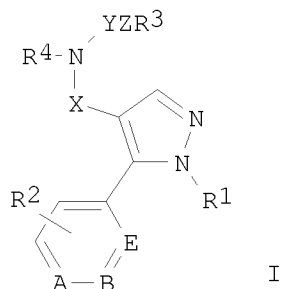
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1670460	A2	20060621	EP 2004-794436	20041006
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

PRIORITY APPLN. INFO.: US 2003-510445P P 20031010
 WO 2004-US33090 W 20041006

OTHER SOURCE(S): CASREACT 142:373826; MARPAT 142:373826
 GI



AB Pyrazole derivs. of formula I [R1 = H, alkyl, cycloalkyl, aryl, heteroaryl, etc.; R2 = halo, alkyl, cyano, etc.; R3, R4 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, etc.; A, B, E = C, N; X = CO, SO2, alkylene; Y = bond, SO2, CO, C=NH, etc.; Z = bond (substituted) NH, O; with provisos] are prepared as cannabinoid receptor modulators. Addnl., the present application describes pharmaceutical compns. comprising at least one compound of formula I and optionally one or more addnl. therapeutic agents. Finally, the present application describes methods of treatment using the compds. I both alone and in combination with one or more addnl. therapeutic agents. Thus, II was prepared using solid phase synthesis. The prepared compds. had CB-1 receptor binding affinity Ki values from 0.01 to

10/588,985

10000 nM.

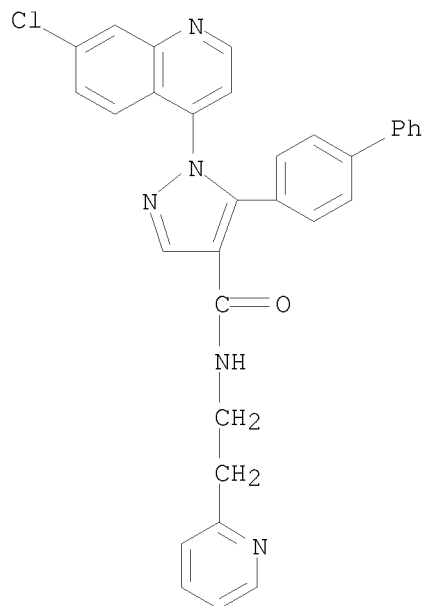
IT 849637-69-0P 849638-74-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazole derivs. as cannabinoid receptor modulators)

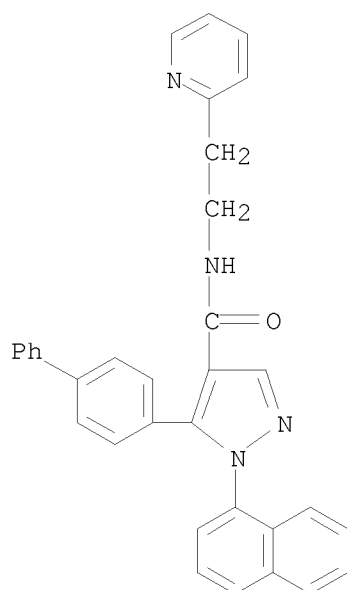
RN 849637-69-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-[1,1'-biphenyl]-4-yl-1-(7-chloro-4-quinolinyl)-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)



RN 849638-74-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-[1,1'-biphenyl]-4-yl-1-(1-naphthalenyl)-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:700281 CAPLUS

DOCUMENT NUMBER: 141:207064

TITLE: Preparation of heteroarylcarboxamides as fungicides

INVENTOR(S): Mansfield, Darren James; Rieck, Heiko; Greul, Joerg Nico; Coqueron, Pierre-Yves; Desbordes, Philippe; Genix, Pierre; Grosjean-Cournoyer, Marie-Claire; Perez, Joseph; Villier, Alain

PATENT ASSIGNEE(S): Bayer Cropscience Sa, Fr.

SOURCE: Eur. Pat. Appl., 46 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

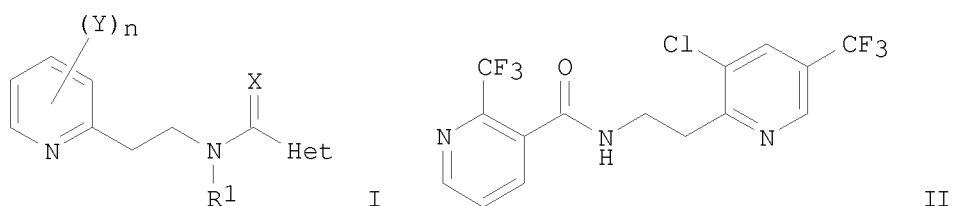
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1449841	A1	20040825	EP 2003-356029	20030219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CA 2516186	A1	20040902	CA 2004-2516186	20040212
WO 2004074280	A1	20040902	WO 2004-EP2381	20040212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1597252	A1	20051123	EP 2004-710397	20040212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 BR 2004006465 A 20051206 BR 2004-6465 20040212
 CN 1751039 A 20060322 CN 2004-80004237 20040212
 CN 100402525 C 20080716
 JP 2006517948 T 20060803 JP 2006-501983 20040212
 ZA 2005004957 A 20060426 ZA 2005-4957 20050617
 IN 2005DN02948 A 20070601 IN 2005-DN2948 20050701
 MX 2005008705 A 20051005 MX 2005-8705 20050816
 US 20060052366 A1 20060309 US 2005-545364 20050920
 PRIORITY APPLN. INFO.: EP 2003-356029 A 20030219
 WO 2004-EP2381 W 20040212
 OTHER SOURCE(S): MARPAT 141:207064
 GI



AB The title compds. I [wherein X = O or S; Y = halo, NO₂, CN, etc.; R₁ = halo, CN, NO₂, etc.; n = 1-4; Het = (un)substituted heterocycle] are prepared as fungicides. For example, 2-(trifluoromethyl)nicotinic acid was reacted with 2-[3-chloro-5-(trifluoromethyl)pyridin-2-yl]ethylamine in CH₂Cl₂ to give II (98%). Compds. I protected 50-100% radish plants against alternaria brassicae at 300 ppm.

IT 743455-18-7P 743455-20-1P 743455-22-3P
 743455-24-5P 743455-26-7P 743455-28-9P
 743455-30-3P 743455-32-5P 743455-34-7P
 743455-36-9P 743455-38-1P 743455-40-5P
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 743455-48-3P 743455-50-7P 743455-52-9P
 743456-54-4P

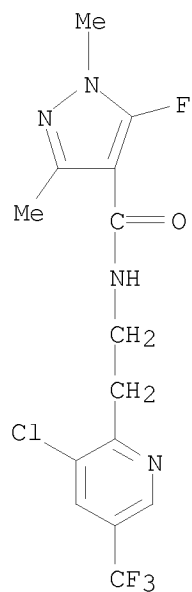
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(fungicide; preparation of heteroarylcarboxamides as fungicides)

RN 743455-18-7 CAPLUS

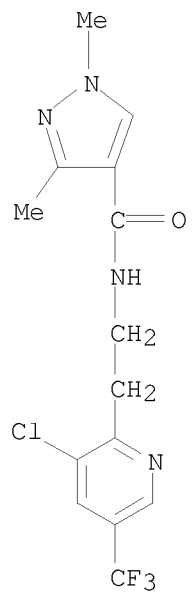
CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-5-fluoro-1,3-dimethyl- (CA INDEX NAME)

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RN 743455-20-1 CAPLUS

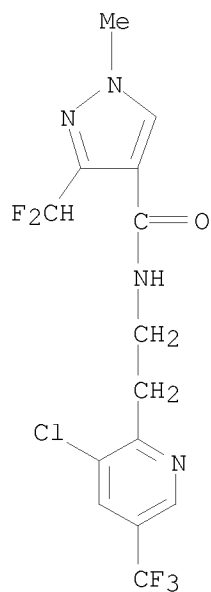
CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-1,3-dimethyl- (CA INDEX NAME)



RN 743455-22-3 CAPLUS

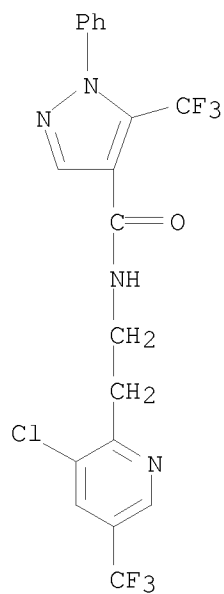
CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-3-(difluoromethyl)-1-methyl- (CA INDEX NAME)

10/588,985



RN 743455-24-5 CAPLUS

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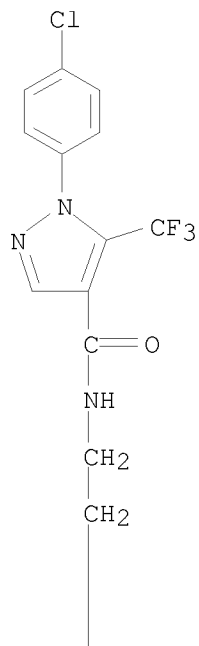


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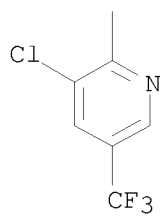
CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-5-(trifluoromethyl)- (CA INDEX NAME)

10/588,985

PAGE 1-A

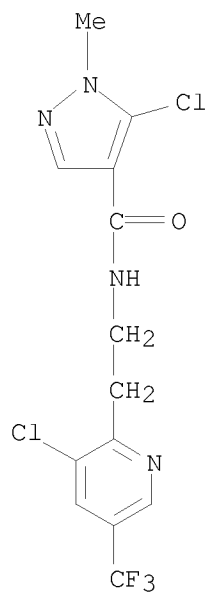


PAGE 2-A



RN 743455-28-9 CAPLUS
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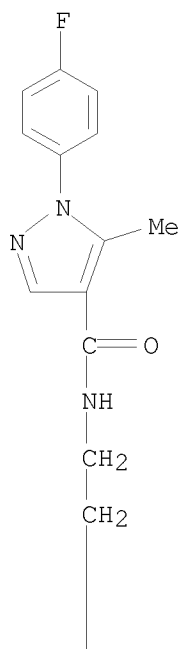
10/588,985



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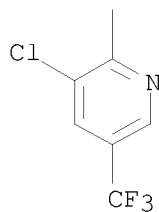
CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-1-(4-fluorophenyl)-5-methyl- (CA INDEX NAME)

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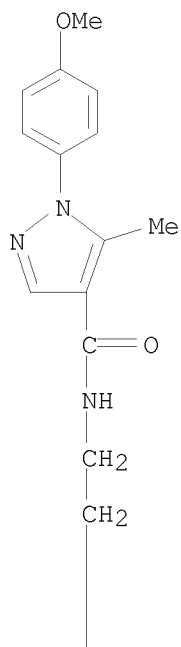
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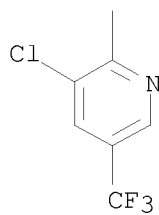


RN 743455-32-5 CAPLUS
CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-1-(4-methoxyphenyl)-5-methyl- (CA INDEX NAME)

PAGE 1-A

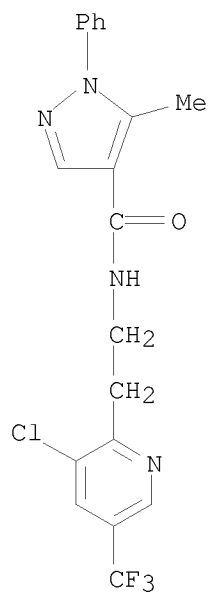


PAGE 2-A



RN 743455-34-7 CAPLUS
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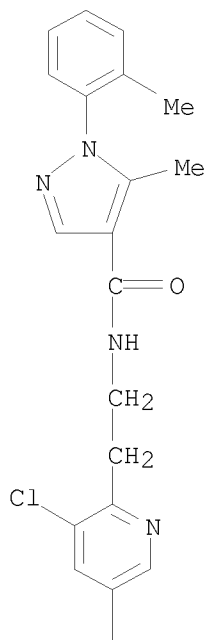
10/588,985



RN 743455-36-9 CAPLUS

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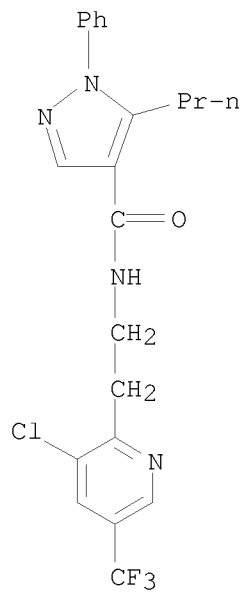
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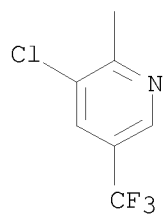
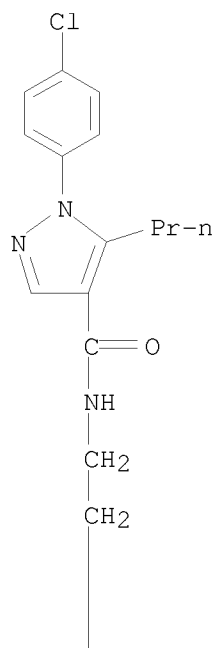
RN 743455-38-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-1-phenyl-5-propyl- (CA INDEX NAME)

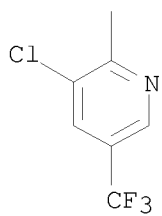
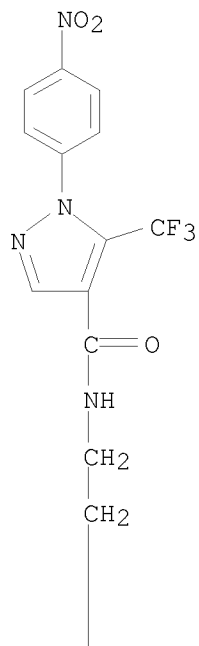


RN 743455-40-5 CAPLUS

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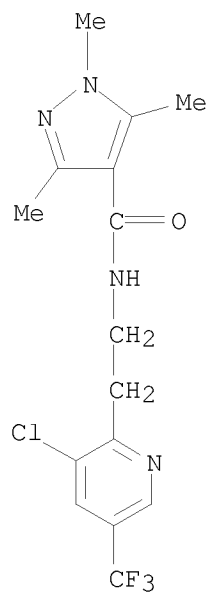


RN 743455-42-7 CAPLUS
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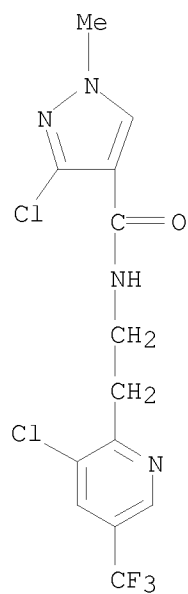
RN 743455-44-9 CAPLUS
 CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-1,3,5-trimethyl- (CA INDEX NAME)

10/588,985



RN 743455-46-1 CAPLUS

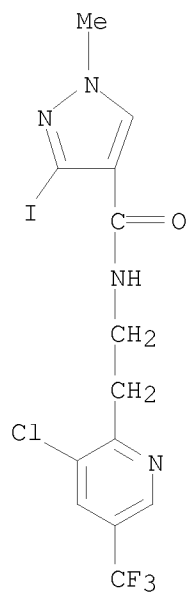
CN 1H-Pyrazole-4-carboxamide, 3-chloro-N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-1-methyl- (CA INDEX NAME)



RN 743455-48-3 CAPLUS

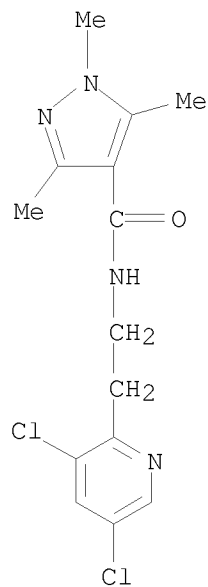
CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-3-iodo-1-methyl- (CA INDEX NAME)

10/588,985



RN 743455-50-7 CAPLUS

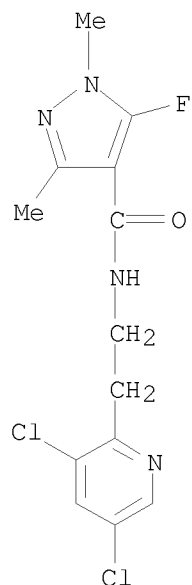
CN 1H-Pyrazole-4-carboxamide, N-[2-(3,5-dichloro-2-pyridinyl)ethyl]-1,3,5-trimethyl- (CA INDEX NAME)



RN 743455-52-9 CAPLUS

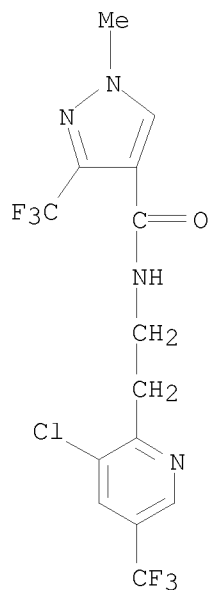
CN 1H-Pyrazole-4-carboxamide, N-[2-(3,5-dichloro-2-pyridinyl)ethyl]-5-fluoro-1,3-dimethyl- (CA INDEX NAME)

10/588,985



RN 743456-54-4 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]ethyl]-1-methyl-3-(trifluoromethyl)- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:356201 CAPLUS

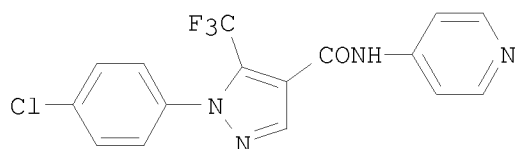
DOCUMENT NUMBER: 138:368888

TITLE: Pyrazolecarboxamides and -sulfonamides as sodium channel blockers

10/588,985

INVENTOR(S): Atkinson, Robert Nelson; Gross, Michael Francis
PATENT ASSIGNEE(S): Icagen, Inc., USA
SOURCE: PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037274	A2	20030508	WO 2002-US35172	20021101
WO 2003037274	A3	20031030		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2465207	A1	20030508	CA 2002-2465207	20021101
AU 2002363250	A1	20030512	AU 2002-363250	20021101
EP 1451160	A2	20040901	EP 2002-799175	20021101
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
US 20050049237	A1	20050303	US 2002-286304	20021101
US 7223782	B2	20070529		
US 20080064690	A1	20080313	US 2007-740845	20070426
PRIORITY APPLN. INFO.:			US 2001-335958P	P 20011101
			US 2002-286304	A1 20021101
			WO 2002-US35172	W 20021101
OTHER SOURCE(S):	MARPAT 138:368888			
GI				



AB Pyrazolecarboxamides and -sulfonamides were prepared for use in the treatment of diseases through the inhibition of sodium ion flux through voltage-dependent sodium channels, especially pain and chronic pain. Thus, the amide I was prepared by amidation of the acid chloride with the amine and showed activity at the PN3 Na channel in the 4.1-10 μ M range.

IT 521921-16-4P 521921-17-5P 521921-69-7P
521921-70-0P 521921-71-1P 521921-72-2P
521924-57-2P 521924-62-9P 521930-08-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazolecarboxamides and -sulfonamides as sodium channel blockers)

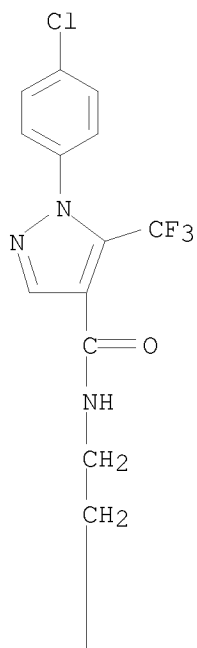
RN 521921-16-4 CAPLUS

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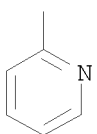
10/588,985

(trifluoromethyl)- (CA INDEX NAME)

PAGE 1-A

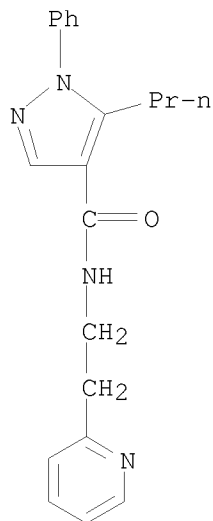


PAGE 2-A



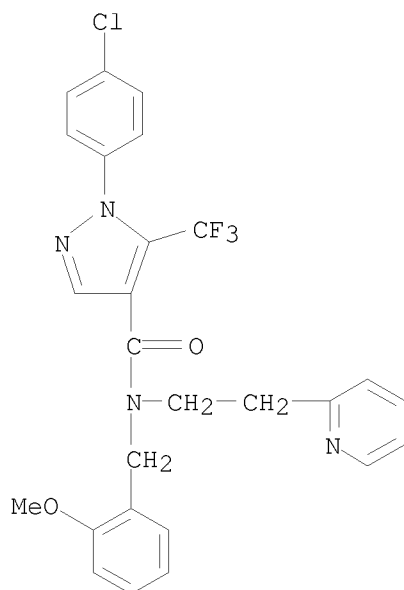
RN 521921-17-5 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1-phenyl-5-propyl-N-[2-(2-pyridinyl)ethyl]-
(CA INDEX NAME)

10/588,985



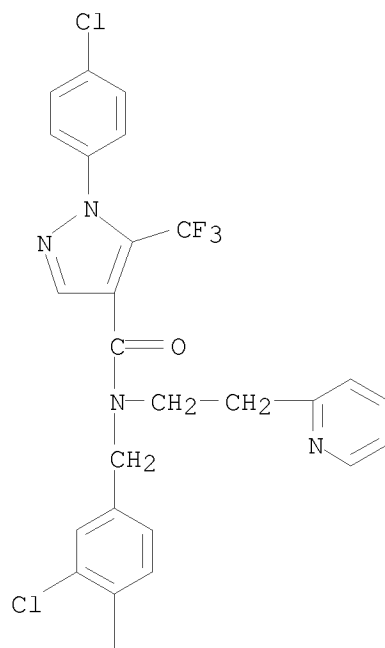
RN 521921-69-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-N-[(2-methoxyphenyl)methyl]-N-[2-(2-pyridinyl)ethyl]-5-(trifluoromethyl)- (CA INDEX NAME)



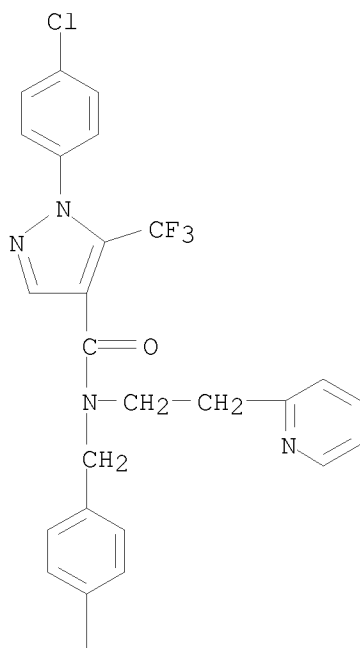
RN 521921-70-0 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-N-[(3,4-dichlorophenyl)methyl]-N-[2-(2-pyridinyl)ethyl]-5-(trifluoromethyl)- (CA INDEX NAME)



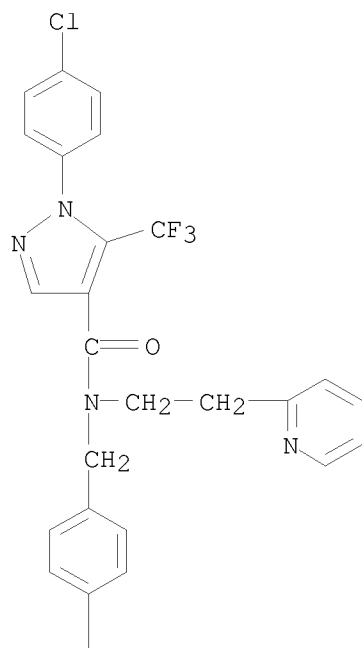
RN 521921-71-1 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-N-[(4-fluorophenyl)methyl]-N-[2-(2-pyridinyl)ethyl]-5-(trifluoromethyl)- (CA INDEX NAME)



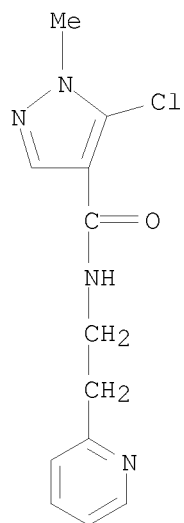
RN 521921-72-2 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-N-[(4-methylphenyl)methyl]-N-[2-(2-pyridinyl)ethyl]-5-(trifluoromethyl)- (CA INDEX NAME)



RN 521924-57-2 CAPLUS

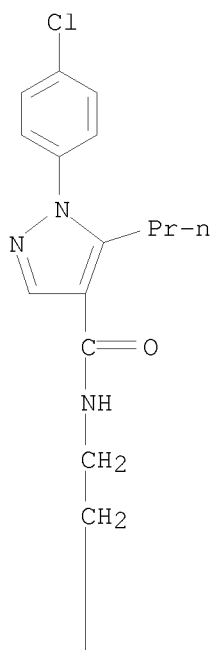
CN 1H-Pyrazole-4-carboxamide, 5-chloro-1-methyl-N-[2-(2-pyridinyl)ethyl]-
(CA INDEX NAME)



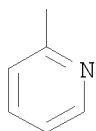
10/588,985

RN 521924-62-9 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-5-propyl-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)

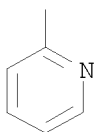
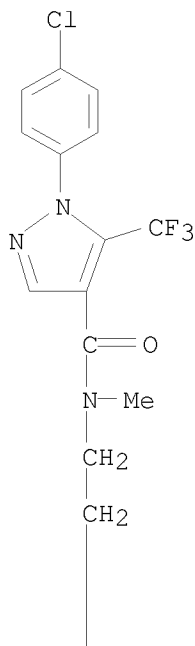
PAGE 1-A



PAGE 2-A



RN 521930-08-5 CAPLUS
CN 1H-Pyrazole-4-carboxamide, 1-(4-chlorophenyl)-N-methyl-N-[2-(2-pyridinyl)ethyl]-5-(trifluoromethyl)- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:617014 CAPLUS

DOCUMENT NUMBER: 127:293215

ORIGINAL REFERENCE NO.: 127:57311a, 57314a

TITLE: Preparation of isothiazolones for lowering plasma levels of lipoprotein(a)

INVENTOR(S): Domagala, John Michael; Lee, Helen Tsenwhei; Ramharack, Randy Ranjee; Roth, Bruce David; Sawyer, Tomi; Sliskovic, Drago Robert

PATENT ASSIGNEE(S): USA

SOURCE: U.S., 22 pp., Cont.-in-part of U.S. Ser. No. 456,149. CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

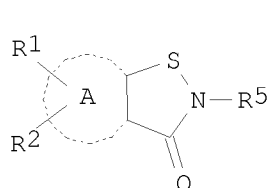
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

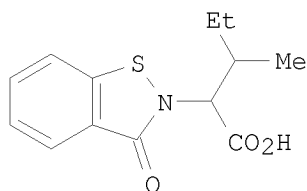
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 5668162	A	19970916	US 1996-646188	19960507
US 5620997	A	19970415	US 1995-456149	19950531
TW 418206	B	20010111	TW 1995-84113376	19951215
IL 117859	A	20000716	IL 1996-117859	19960409
CA 2218253	A1	19961205	CA 1996-2218253	19960426
CN 1185737	A	19980624	CN 1996-194276	19960426
CN 1114402	C	20030716		
HU 9900917	A2	19990928	HU 1999-917	19960426
HU 9900917	A3	20030428		
ES 2192224	T3	20031001	ES 1996-913177	19960426
HR 9600216	B1	20011231	HR 1996-216	19960508
ZA 9604441	A	19961210	ZA 1996-4441	19960530
US 5733921	A	19980331	US 1996-757716	19961126
US 6001863	A	19991214	US 1997-882845	19970626
US 6133270	A	20001017	US 1997-882846	19970626
US 5889034	A	19990330	US 1998-40777	19980318
IN 2000DE00142	A	20050311	IN 2000-DE142	20000222
PRIORITY APPLN. INFO.:			US 1995-456149	A2 19950531
			IN 1996-DE767	A3 19960409
			US 1996-757716	A3 19961126

OTHER SOURCE(S): MARPAT 127:293215
GI



I



II

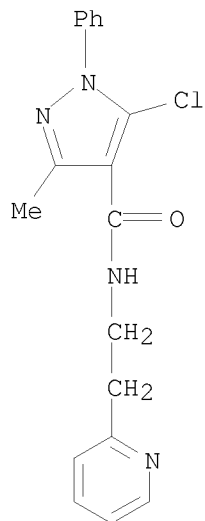
AB The title compds. [I; A = a 5-6 membered monocyclic or bicyclic ring which may contain up to 3 heteroatoms selected from O, S, and N; R1, R2 = H, halo, C1-6 alkyl, etc.; R5 = H, C1-6 alkyl, C3-6 cycloalkyl, etc.], useful for treating restenosis and angina, and preventing stroke, were prepared and formulated. Thus, treatment of [S-(R*,R*)]-2-{2-[2-(1-carboxy-2-methylbutylcarbamoyl)phenyldisulfanyl]benzoylamino}-3-methylpentanoic acid with Br2 in CH2Cl2 afforded the title compound [S-(R*,R*)]-II which showed IC50 of 29.5 μ M against Lp(a) particle formation.

IT 186130-57-4P 186130-58-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of isothiazolones for lowering plasma levels of lipoprotein(a))

RN 186130-57-4 CAPLUS

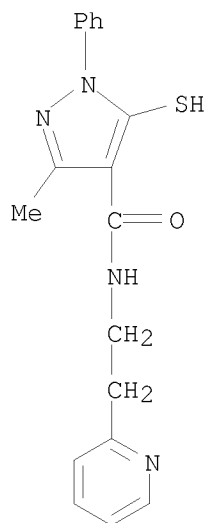
CN 1H-Pyrazole-4-carboxamide, 5-chloro-3-methyl-1-phenyl-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)

10/588,985



RN 186130-58-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-mercapto-3-methyl-1-phenyl-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:107395 CAPLUS

DOCUMENT NUMBER: 126:117968

ORIGINAL REFERENCE NO.: 126:22773a, 22776a

TITLE: Preparation of isothiazolones as anti-retroviral,

anti-inflammatory and anti-atherosclerotic agents
INVENTOR(S): Bolton, Gary Louis; Domagala, John Michael; Elslager, Edward Faith; Gogliotti, Rocco Dean; Purchase, Terri Stoeber; Sanchez, Joseph Peter; Trivedi, Bharat Kalidas

PATENT ASSIGNEE(S): Warner-Lambert Company, USA

10/588,985

SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9638144	A1	19961205	WO 1996-US5821	19960426
W: AU, BG, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, LK, LR, LT, LV, MG, MX, NO, NZ, PL, RO, SG, SI, SK, UA, UZ, VN, AM, AZ, BY, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5620997	A	19970415	US 1995-456149	19950531
TW 418206	B	20010111	TW 1995-84113376	19951215
IL 117859	A	20000716	IL 1996-117859	19960409
CA 2218253	A1	19961205	CA 1996-2218253	19960426
AU 9655771	A	19961218	AU 1996-55771	19960426
AU 723233	B2	20000824		
EP 828488	A1	19980318	EP 1996-913177	19960426
EP 828488	B1	20030212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1185737	A	19980624	CN 1996-194276	19960426
CN 1114402	C	20030716		
HU 9900917	A2	19990928	HU 1999-917	19960426
HU 9900917	A3	20030428		
NZ 307023	A	20010330	NZ 1996-307023	19960426
JP 2002502353	T	20020122	JP 1996-536473	19960426
AT 232385	T	20030215	AT 1996-913177	19960426
ES 2192224	T3	20031001	ES 1996-913177	19960426
HR 9600216	B1	20011231	HR 1996-216	19960508
ZA 9604441	A	19961210	ZA 1996-4441	19960530
US 5733921	A	19980331	US 1996-757716	19961126
US 6001863	A	19991214	US 1997-882845	19970626
US 6133270	A	20001017	US 1997-882846	19970626
NO 9705496	A	19980122	NO 1997-5496	19971128
US 5889034	A	19990330	US 1998-40777	19980318
IN 2000DE00142	A	20050311	IN 2000-DE142	20000222
PRIORITY APPLN. INFO.:			US 1995-456149	A 19950531
			IN 1996-DE767	A3 19960409
			WO 1996-US5821	W 19960426
			US 1996-757716	A3 19961126

OTHER SOURCE(S): MARPAT 126:117968

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; A = 5-6 membered monocyclic ring or a bicyclic ring (9-12 ring atoms); R1, R2 = H, halo, C1-6 alkyl, etc.; R5 = H, C1-6 alkyl, COC1-6 alkyl, etc.; m = 0-2], useful as anti-retroviral, anti-inflammatory, and anti-atherosclerotic agents, were prepared and formulated. Thus, treatment of 2-thio-N-(4-sulfamoylphenyl)benzamide with chlorocarbonylsulfenyl chloride in MeOH/THF afforded II which showed EC50 of 5.1 μ M against HIV virus and 35% 15-lipoxygenase inhibition at 10 μ M.

IT 186130-57-4P 186130-58-5P

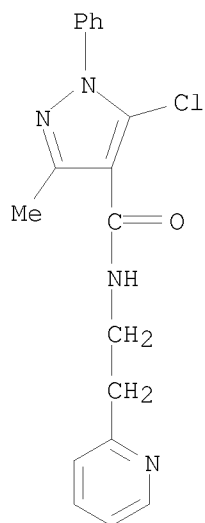
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of isothiazolones as anti-retroviral, anti-inflammatory and anti-atherosclerotic agents)

10/588,985

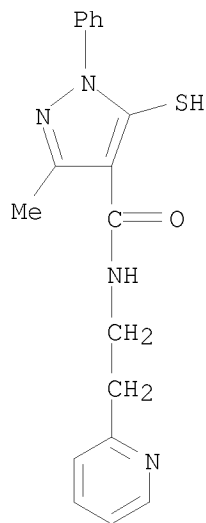
RN 186130-57-4 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-chloro-3-methyl-1-phenyl-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)



RN 186130-58-5 CAPLUS

CN 1H-Pyrazole-4-carboxamide, 5-mercapto-3-methyl-1-phenyl-N-[2-(2-pyridinyl)ethyl]- (CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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